

## PALM INTRANET

Day: Thursday Date: 4/1/2004 Time: 09:32:05

## **Inventor Name Search Result**

Your Search was:

Last Name = HONG First Name = FENG

Application#	Patent#	Status	Date Filed	Title	Inventor Name 7
60460782	Not Issued	020	04/04/2003	PYRIDINES AND USES THEREOF	HONG, FENG
60460776	Not Issued	020	04/04/2003	PYRIMIDINES AND USES THEREOF	HONG, FENG
60419694	Not Issued	020	10/17/2002	PYRIMIDINES AND USES THEREOF	HONG, FENG
10671070	Not Issued	019	09/24/2003	PYRIMIDINES AND USES THEREOF	HONG, FENG
<u>10667916</u>	Not Issued	030	09/22/2003	PYRIDINES AND USES THEREOF	HONG, FENG
10635264	Not Issued		08/06/2003	USE OF CYCLOPHILIN AS ANTIOXIDANT AND PREVENTION OF CYCLOSPORIN A-INDUCED TOXICITY IN CELL TRANSPLANTATION BY OVEREXPRESSION OF CYCLOPHILIN	HONG, FENG
10285364	Not Issued	030	10/30/2002	ARYL TRIAZINES AS LPAAT-BETA INHIBITORS AND USES THEREOF	HONG, FENG

Inventor Search Completed: No Records to Display.

•	Last Name	First Name
Search Another:	Hong	Feng
Inventor		Search

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Back to PALM | ASSIGNMENT | OASIS | Home page

STRUCTURE SEARCH

=> d ibib abs hitstr 1-21

ANSWER 1 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN 1.4

ACCESSION NUMBER:

2003:559836 CAPLUS

DOCUMENT NUMBER:

139:111663

TITLE:

Large-conductance calcium-activated potassium channel openers containing cyanopyridine or cyanopyrimidine

derivatives

INVENTOR(S):

Harada, Hironori; Takuwa, Tomofumi; Okazaki, Toshio;

Hirano, Yusuke

PATENT ASSIGNEE(S):

Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003206230	A2	20030722	JP 2002-3289	20020110
PRIORITY APPLN. INFO.	:	JP	2002-3289	20020110
OTHER SOURCE(S):	MA	RPAT 139:111663		

GΙ

$$R^{1}$$
 $CN$ 
 $N-R^{3}$ 
 $N-R^{3}$ 

· AB The K channel openers, useful as bladder smooth muscle relaxants and for treatment of urinary frequency and incontinence, contain the derivs. I [R1 = aryl, heteroaryl which may have ≥1 of lower (halo)alkyl or halo; R2 = (a) OH, lower alkyloxy, lower alkenyloxy, lower alkylthio, lower alkenylthio, these groups may be substituted with ≥1 of OH, (un) substituted aryl, (un) substituted pyridyl, (un) substituted and N-oxidopyridyl or (b) cyclic amino which may be substituted with lower alkylamino, di(lower alkyl)amino, or lower alkyl; R3 = H, lower alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, nonarom. heterocyclylcarbonyl; X = N, CH, CR4; R4 = lower alkyl, CO2H, lower alkoxycarbonyl, carbamoyl which may have 1-2 lower alkyl] or their pharmaceutically acceptable salts as active ingredients. 4-Amino-6-(2-fluorophenyl)-2-morpholin-4-ylpyrimidine-5-carbonitrile (preparation given) suppressed bladder contraction frequency without affecting contractile force of bladder in rats.

TΤ 562812-71-9P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(large-conductance calcium-activated K channel openers containing cyanopyridine or cyanopyrimidine derivs. for treatment of pollakiuria and incontinence)

RN 562812-71-9 CAPLUS

CN3-Pyridinecarbonitrile, 2-amino-4-(2-fluorophenyl)-6-[(phenylmethyl)thio]-(9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:472358 CAPLUS

DOCUMENT NUMBER:

139:53025

TITLE:

Preparation of vanilloid receptor ligands and their

use in treatments

INVENTOR(S):

Bo, Yunxin Y.; Chakrabarti, Partha P.; Chen, Ning; Doherty, Elizabeth M.; Fotsch, Christopher H.; Han, Nianhe; Kelly, Michael G.; Liu, Qingyian; Norman, Mark

Henry; Wang, Xianghong; Zhu, Jiawang

PATENT ASSIGNEE(S):

Amgen Inc., USA; Ognyanov, Vassil I.; et al. PCT Int. Appl., 611 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATE		KI	ND	DATE		APPLICATION NO. DATE									•		
	WO 2	0030	0497	02	A	2	2003	0619	WO 2002-US39589						20021210			
	WO 2	0030	0497	02	Α	3	2004	0212										
	1	W: .	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JΡ,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	VÇ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ	BY,	KG,	KZ,	MD,
			RU,	ΤJ,	TM.													
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM	ZW,	AT,	ΒE,	BG,
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE	IT,	LU,	MC,	NL,
			PT,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ΜL,
			MR,	ΝE,	SN,	TD,	TG											
US 2003195201 A1 2003103							1016		U:	S 20	02-3	1629	5	2002	1210			
	WO 2	0030	09928	84	A	1	2003	1204		M(	O 20	03 <i>-</i> U	5166	55	2003	0520		
	1	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			•		•	•					•		•		KZ,	,		•
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ŅΖ,	OM,	PH,
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	KΖ,	MD,
			- ,	TJ,														
	1	RW:	•		•						•		•	,	ZW,	•		•
															ΙE,			
			NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,
			,				•	TD,	TG									
	US 2					1 :	2004	0226				03-4		-	2003			
PRIO	RITY	APPI	LN.	INFO	. :					JS 20					2001			
										JS 20					2001			
										JS 20					20020			
									1	JS 20	002-4	40242	22P	Р	20020	8080		

OTHER SOURCE(S):

MARPAT 139:53025

Claimed are compds. having the general structure R1CR2:CR3C(:X)YR4 or R1R2CHCR3R3C(:X)YR4 (I; variables defined below; e.g. (2E)-3-[4-(tertbutyl)phenyl]-N-phenylprop-2-enamide and (2,3-dihydrobenzo[1,4]dioxin-6yl) [4-(4-dimethylaminophenyl)pyridin-2-yl]amine) and compns. containing them, for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and nonvascular syndromes, tension headache, , general inflammation arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathy pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentiation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritis, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotising agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders. I are thought to be vanilloid receptor ligands, but no test data are provided. Although the methods of preparation are not claimed, .apprx.130 example prepns. and characterization data for .apprx.400 I are included. For I: R1 is Ph, naphthyl or (un)saturated 5- or 6-membered ring heterocycle; R2 is H, hydroxy, halo, C1-6alkyl, or (un) saturated 5- or 6-membered ring heterocycle; or R1 and R2 together are o-benzenediyl-L1-o-benzenediyl. R3 is H or C1-4alkyl; or R1 and R3 together are o-benzenediyl-L2- or -Z-L2- (Z =pyridine-2,3-diyl). R4 is Ph, (un)saturated 5- or 6-membered ring heterocycle, 10-membered bicyclic ring comprising fused 6-membered rings, containing 0-4 N atoms with the remainder being C atoms, with at least one of the 6-membered rings being aromatic; X is O, S or NRa; or X and R2 together are :N-CH:CH-, :C-O-, :C-S-, or :C-NRa-; Y is NH or O; addnl. details including provisos are given in the claims.

IT 545396-39-2P, (2,3-Dihydrobenzo[1,4]dioxin-6-yl)[4-(3trifluoromethylphenyl)pyridin-2-yl]amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of vanilloid receptor ligands and their use in medical treatments)

RN 545396-39-2 CAPLUS

CN 2-Pyridinamine, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:57902 CAPLUS

DOCUMENT NUMBER:

138:117662

TITLE:

Use of NK-1 receptor antagonists for the treatment of

brain, spinal or nerve injury

INVENTOR(S):

Hoffmann, Torsten; Nimmo, Alan John; Sleight, Andrew;

Vankan, Pierre; Vink, Robert

PATENT ASSIGNEE(S):

F. Hoffmann-La Roche A.-G., Switz.

IT455874-98-3P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of oxydicyanoarylaminopyridines as adenosine receptor-selective ligands)

455874-98-3 CAPLUS RN

3,5-Pyridinedicarbonitrile, 2-[(2-hydroxyethyl)amino]-4-phenyl-6-CN (phenylmethoxy) - (9CI) (CA INDEX NAME)

Ph-CH2-NC NH-CH2-CH2-OH Ph ĊN

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN L4

ACCESSION NUMBER:

2002:220561 CAPLUS

DOCUMENT NUMBER:

136:263168 Preparation of substituted heterocyclic

TITLE:

aryl-alkyl-aryl compounds as thrombin inhibitors

Isaacs, Richard C.; Williams, Peter D.; Lyle, Terry

INVENTOR(S): A.; Staas, Donnette D.; Savage, Kelly L.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA PCT Int. Appl., 91 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT				APPLICATION NO.					DATE						
				<del>-</del> -											
WO 2002	022584	Al 2002032				WO 2001-US2879					91 20010911				
W:	AE, AG,	AL, A	4, AT,	ΑU,	ΑZ,	BA,	BB,	BG,	₿R,	BY,	BZ,	CA,	CH,	CN,	
•	CO, CR,	CU, C	Z, DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
	GM, HR,	HU, II	), IL,	IN,	IS,	JΡ,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	
	LT, LU,	LV, M	A, MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,	PT,	
	RO, RU,	SD, SI	E, SG,	SI,	SK,	SL,	·TJ,	TM,	${ m TR}$ ,	TT,	TZ,	UA,	UG,	US,	
	UZ, VN,	YU, ZA	A, ZW,	AM,	ΑZ,	BY,	KG,	ΚŻ,	MD,	RU,	TJ,	MT			
RW:	GH, GM,	KE, LS	S, MW,	MZ,	SD,	SL,	·SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
	DE, DK,	ES, F	[, FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	ΝL,	PT,	SE,	TR,	BF,	
	BJ, CF,	•				~ .		,			•	•	TG		
AU 2001	094557	<b>A</b> 5	2002	0326		Αl	U 20	01-9	4557		2001	0911			
PRIORITY APP	LN. INFO	.:			Ţ	JS 2	000-2	2316	56P	P	2000	0911			
					1	NO 2	001-l	JS28	791	W	2001	0911			
OTHER SOURCE(S): MARPAT 136:263168															

GΙ

$$R^3$$
 $U$ 
 $W$ 
 $X$ 
 $Y$ 
 $Z$ 
 $R^2$ 
 $I$ 

AB Title compds. I [u, v, w = CH, N; X = 0, SOO-2, NH, alkenyl, C:O, C:ONH, C:OO, alkyl, CH2NH, CH2O, CF2; Y = (CH2)O-1(CR4R5)(CH2)O-1; Z = 0, SO-2, C:O, amino, CF2, bond; R1 = H, alkyl(CN), C:O, (CH2)O-1-carboxy, CF3, alkoxy, halo, SOO-2, amino; R2 = (un)substituted Ph, 5-6-membered heterocycle; R3 = Ph, (un)substituted ring system, 5-6-membered heterocycle; R4-5 = H, alkyl; R6, R8 = halo, alkylamino, heterocycle] were prepared Examples include data for over 20 compds., 3 solid oral dosage formulations and an in-vitro assay for protease determination for example compds.

ΙI

For instance, 2'-isopropyl-5-methylbiphenyl-3-ol (prepared in 3 steps from 2-isopropylphenyl iodide) was reacted with (S)-2-(pyridin-4-ylamino)propan-1-ol to give II isolated as the trifluoroacetate. Example compds. exhibited inhibitory activity against human thrombin, Ki < 24 nM. I are useful in the treatment of blood coagulation and cardiovascular disorders.

IT 404920-67-8P 404921-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU** (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation of substituted heterocyclic aryl-alkyl-aryl compds. as thrombin inhibitors)

RN 404920-67-8 CAPLUS

CN Pyridine, 2-methyl-4-[2-(1-methylethyl)phenyl]-6-[2-[2-(1H-tetrazol-1-yl)phenyl]ethoxy]- (9CI) (CA INDEX NAME)

404921-04-6 CAPLUS RN

Pyridine, 2-methyl-4-[2-(2-methylpropyl).phenyl]-6-[2-[2-(1H-tetrazol-1-CNyl)phenyl]ethoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:157739 CAPLUS

DOCUMENT NUMBER:

136:216651

TITLE:

Preparation of 4-phenylpyridines as neurokinin-1

receptor antagonists

INVENTOR(S):

Godel, Thierry; Hoffmann, Torsten; Schnider, Patrick;

Stadler, Heinz

PATENT ASSIGNEE(S):

F. Hoffmann-La Roche A.-G., Switz.

SOURCE:

PCT Int. Appl., 108 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE						PPLI		_	DATE				
	2002												2001	0727			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
										EC,							
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
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		VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM			
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		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
AU	2002	0121	18	A	5	2002	0304		P	U 20	02-1	2118		2001	0727		
EP	1309	559		A	1	2003	0514		E	P 20	01-9	8021	9	2001	0727		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	$\operatorname{TR}$						
BR	2001	0131	73	Α		2003	0624		É	R 20	01-1	3173		2001	0727		
JP	2004	5067	18	T.	2.	2004	0304		J	P 20	02-5	2120	0	2001	727		
US	2002	0400	40	Α	1 .	2002	0404		L	IS 20	01-93	2206	6	2001	2803		
NO	2003	0006	32	Α		2003	0207		N	0 20	03-6	32		2003	0207		
PRIORIT	INFO	. :					EP 2	000-	1170	03 ´	Α	2000	8080				
						1	WO 2	001-	EP86	36	M	2001	0727				
OTHER S	<b>QURĆE</b>	(S):		MARPAT 136:216651													

GΙ

alendronate) or a bone anabolic agent (human parathyroid hormone fragments). Preparation of various benzoquinolin-3-ones was presented. E.g., (4aR)-(10bR)-8-chloro-1,2,3,4,4a,5,6,10b-octahydrobenzo[f]quinolin-3-one 47 g was methylated with 18.7 g MeI to obtain (4aR)-(10bR)-8-chloro-4-methyl-1,2,3,4,4a,5,6,10b-octahydrobenzo[f]quinolin-3-one. Capsules were formulated containing (-)-(4aR)-(10bR)-8-chloro-4-methyl-1,2,3,4,4a,5,6,10b-octahydrobenzo[f]quinolin-3-one 80, premarin 1, Avicel PH 101 50, starch 1500 117.5, silicone oil 2, Tween 80 0.50 and Cab-O-Sil 0.25 mg/capsule, resp.

IT 176975-20-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (benzoquinolin-3-one compds. for inhibiting bone loss in women)

RN 176975-20-5 CAPLUS

CN Benzo[f]quinolin-3(2H)-one, 8-[(4,6-diphenyl-2-pyridinyl)thio]1,4,4a,5,6,10b-hexahydro-4,10b-dimethyl-, (4aR-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ANSWER 20 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1996:495435 CAPLUS

DOCUMENT NUMBER:

125:184908

TITLE:

Phenylamino-pyrimidine (PAP) derivatives: a new class of potent and selective inhibitors of protein kinase C

(PKC)

AUTHOR(S):

Zimmermann, Juerg; Caravatti, Giorgio; Mett, Helmut; Meyer, Thomas; Mueller, Marcel; Lydon, Nicholas B.;

Fabbro, Doriano

CORPORATE SOURCE:

CIBA Pharmaceuticals Div., Oncology Virology Res. Dep., Ciba-Geigy Limited, Basel, CH-4002, Switz. Archiv der Pharmazie (Weinheim, Germany) (1996),

SOURCE:

329(7), 371-376

CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER:

VCH Journal

DOCUMENT TYPE: LANGUAGE:

English

Phenylamino-pyrimidines represent a novel class of inhibitors of protein kinase C with a high degree of selectivity vs. other serine/threonine and tyrosine kinases. Steady state kinetic anal. of N-(3-[1-imidazolyl]-phenyl)-4-(3-pyridyl)-2-pyrimidinamine, which showed potent inhibitory activity, revealed competitive kinetics relative to ATP. The adjacent H-bond acceptor of the pyrimidine moiety next to an H-bond donor of the phenylamine was found to be crucial for inhibitory activity.

N-(3-Nitro-phenyl)-4-(3-pyridyl)-2-pyrimidinamine preferentially inhibited PKC-α (IC50 = 0.79 μM) and not the other subtypes tested. The inhibition consts. of PKC-α and the antiproliferative effect on T24 human bladder carcinoma cells showed a qual. correlation, although with

Traft

some exceptions.

IT 181065-64-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of phenylamino-pyrimidine derivs. as a new class of potent and selective inhibitors of protein kinase C)

RN 181065-64-5 CAPLUS

CN 2-Pyridinamine, N-(3-chlorophenyl)-4-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1996:323152 CAPLUS

DOCUMENT NUMBER:

125:10633

TITLE:

Preparation of benzo[f]quinolones as steroid

 $5\alpha$ -reductase inhibitors.

INVENTOR (S):

Audia, James Edmund; Haehl, Kevin Lee; Kress, Thomas

Joseph; McQuaid, Loretta Ames; Neubauer, Blake Lee;

Rocco, Vincent Patrick; Wepsiec, James Patrick

PATENT ASSIGNEE(S):

SOURCE:

Eli Lilly and Co., USA

Eur. Pat. Appl., 111 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	rent :	NO.		KII	ND.	DATE			A	PPLI	CATI	N NC	Ο.	DATE			
	7032								E	P 19	95-3	0655	1	1995	0918		
EP	7032	21		B.	1	2002	0327										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FŔ,	GB,	GR,	ΙE,	ΙT,	LI,	LU,	NL,	PT,	SE
US	5578	724		Α		1996	1126		U	3 199	94-3	0928	2	1994	0920		
US	5578 5622	961		A		1997	0422		U	S 199	95-4	3939	6	1995	0511		
US	5622	962		A		1997	0422		U	S 199	95-4	3940	5	1995	0511		
	9609																
														IS,			KΡ,
														NO,			
														VN			
	RW:	KE,	MW,	SD,	SZ,	UG,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,
		SN,	TD,	TG													
AU	9535	102		A.	1 .	1996	0409		A	J 19:	95-3	5102		1995	0914		
CN	1163	565		А		1997	1029		C	N 199	95-1	9619	9	1995	0914		
BR	9509	015		Α		1998	0106		B	R 199	95-9	015		1995	0914		
HU	7794	7		A2	2	1998	1228		H	J 199	98-1	416		1995	)914		
RU	2172	312		C	2	2001	0820		RI	J 199	97-1	0423	9	19950	0914		
	2173																
	2158													19950			
JР	0822	5533		A2	2	19960	0903		J:					1995			
	9701									0 199	97-13	248		19970	)318		
	9701													19970			
	9718													19970			
AU	6921	23		B2	2	19980	0528										
	6150					2000:	1121		U:	5 199	98-2	1010	6	1998:	L211		
									-		-						

PRIORITY APPLN. INFO.:

US 1994-309282 A 19940920 US 1995-439071 B1 19950511 WO 1995-US11521 W 19950914 US 1996-682068 A1 19960716

OTHER SOURCE(S):

MARPAT 125:10633

Ι

ΙI

GΙ

$$R^{1}$$
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 

AΒ Title compds. [I; R, R1 = H; RR1 = bond; R2 = H, alkyl; R3 = Me, Et; R4, XR5 each occupy 1 of the 7-, 8-, and 9-positions; R4 = H, halo, Me, Et; X = alkyl, alkenyl, alkynyl, bond, SO, SO2, COY(CH2)n, YCO(CH2)n, CO, Z(CH2)n, SO3; Y = S, O, NH; Z = O, S; n = 0-3; R5 = (substituted) Ph, naphthalenyl, pyridinyl, pyrazinyl, pyridazinyl, pyrimidinyl, anthracenyl, acenaphthalenyl, thiazolyl, benzimidazolyl, indazolyl, thiophenyl, phenanthrenyl, quinolinyl, fluorenyl, isoquinolinyl, indanyl, benzopyranyl, indolyl, benzisoquinolinyl, benzindolyl, benzothiazolyl, benzothiophenyl, quinoxalinyl, benzoxazolyl, tetrazolyl, naphthothiazolyl, quinazolinyl, thiazolopyridinyl, pyridazinoquinazolinyl, benzisothiazoly., benzodioxolyl, benzodioxinyl, diphenylmethyl, triphenylmethyl, perhalophenyl], were prepared Thus, title compound (II), prepared in 69% yield by heating 2-chloro-2-ethylbenzothiazole with the corresponding thiol in DMF in the presence of K2CO3, at 0.3  $\mu M$  inhibited type I and type II steroid reductase by 93 and 94%, resp. I dosage formulation examples are given.

## IT 176975-20-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzo[f]quinolones as steroid 5α-reductase inhibitors)

RN 176975-20-5 CAPLUS

CN Benzo[f]quinolin-3(2H)-one, 8-[(4,6-diphenyl-2-pyridinyl)thio]1,4,4a,5,6,10b-hexahydro-4,10b-dimethyl-, (4aR-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

=> d his

(FILE 'HOME' ENTERED AT 09:23:25 ON 01 APR 2004)

FILE 'REGISTRY' ENTERED AT 09:23:46 ON 01 APR 2004

L1 STRUCTURE UPLOADED

L2 22 S L1

L3 655 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:25:39 ON 01 APR 2004 21 S L3/THU

=> d 11

L4

L1 HAS NO ANSWERS

L1 STR

G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> ' ' '

STH-STRUCTURE SEARCH 4-1-64

=> d ibib abs hitstr 1-54

ANSWER 1 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN L8

ACCESSION NUMBER: DOCUMENT NUMBER:

2003:472358 CAPLUS

139:53025

TITLE:

Preparation of vanilloid receptor ligands and their

use in treatments

INVENTOR(S):

Bo, Yunxin Y.; Chakrabarti, Partha P.; Chen, Ning; Doherty, Elizabeth M.; Fotsch, Christopher H.; Han, Nianhe; Kelly, Michael G.; Liu, Qingyian; Norman, Mark

Henry; Wang, Xianghong; Zhu, Jiawang

PATENT ASSIGNEE(S):

Amgen Inc., USA; Ognyanov, Vassil I.; et al.

SOURCE:

PCT Int. Appl., 611 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT		KII	ND	DATE			A	PPLI	CATI	N NC	Э.	DATE					
-	2003			A: A:		2003			W	20	02 - US	 S3958	89	2002	1210		
	W:	AE, CO,	AG, CR,	AL, CU,	AM, CZ,	AT, DE,	AU, DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		LS,	LT,	LU,	LV,	IL, MA, SC,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
	DW.	RU,	TJ,	TM		VC,			·				,	•	- '		·
	rw.	CH, PT,	CY,	CZ, SI,	DE, SK,	DK, TR,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
	2003 2003	1952	01	A.	1	2003				S 200				2002	_		
	W :	co,	CR,	CU,	CZ,	AT, DE, IL,	DK,	DM,	DZ,	EC,	ΕĒ,	ES,	FI,	GB,	GD,	GE,	GH,
	•	PL,	PT,	RO,	RU,	MA, SC, VC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
	RW:	GН, СН,	CY,	KE, CZ,	DE,	MW, DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,
US	2004	GW,	ML,	MR,	NE,	SI, SN, 2004	TD,			во, S 200			•	2003		GN,	GQ,
PRIORITY	•)(=		INFO	. :	MAR	PAT :	139.4	J J	JS 2 JS 2 JS 2	001-3 001-3 002-3	34473 38333	37P 31P	P P	20013 20013 20020 20020	1221 0522		

AB Claimed are compds. having the general structure R1CR2:CR3C(:X)YR4 or R1R2CHCR3R3C(:X)YR4 (I; variables defined below; e.g. (2E)-3-[4-(tertbutyl)phenyl]-N-phenylprop-2-enamide and (2,3-dihydrobenzo[1,4]dioxin-6yl)[4-(4-dimethylaminophenyl)pyridin-2-yl]amine) and compns. containing them, for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and nonvascular syndromes, tension headache, , general inflammation arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders,

psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathy pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentiation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritis, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotising agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders. I are thought to be vanilloid receptor ligands, but no test data are provided. Although the methods of preparation are not claimed, apprx.130 example prephs. and characterization data for .apprx.400 I are included. For I: R1 is Ph, naphthyl or (un)saturated 5- or 6-membered ring heterocycle; R2 is H, hydroxy, halo, C1-6alkyl, or (un) saturated 5- or 6-membered ring heterocycle; or R1 and R2 together are o-benzenediyl-L1-o-benzenediyl. R3 is H or C1-4alkyl; or R1 and R3 together are o-benzenediyl-L2- or -Z-L2- (Z =pyridine-2,3-diyl). R4 is Ph, (un)saturated 5- or 6-membered ring heterocycle, 10-membered bicyclic ring comprising fused 6-membered rings, containing 0-4 N atoms with the remainder being C atoms, with at least one of the 6-membered rings being aromatic; X is O, S or NRa; or X and R2 together are :N-CH:CH-, :C-O-, :C-S-, or :C-NRa-; Y is NH or O; addnl. details including provisos are given in the claims.

IT 545396-39-2P, (2,3-Dihydrobenzo[1,4]dioxin-6-yl)[4-(3trifluoromethylphenyl)pyridin-2-yl]amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of vanilloid receptor ligands and their use in medical treatments)

RN 545396-39-2 CAPLUS

2-Pyridinamine, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:57902 CAPLUS

DOCUMENT NUMBER:

138:117662

TITLE:

CN

Use of NK-1 receptor antagonists for the treatment of

brain, spinal or nerve injury

INVENTOR(S):

Hoffmann, Torsten; Nimmo, Alan John; Sleight, Andrew;

Vankan, Pierre; Vink, Robert

PATENT ASSIGNEE(S):

F. Hoffmann-La Roche A.-G., Switz.

SOURCE:

PCT Int. Appl., 36 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

racent

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		<del>_</del>		<del>-</del>
WO 2003006016	A2	20030123	WO 2002-EP7323	20020703

OTHER SOURCE(S):

CASREACT 98:143238

GΙ

Pyridinium salts I [R = N3, R1 = CH2C6H4R2-p (R2 = H, Cl, Me)], prepared AB from I (R = OEt, R1 as before) by sequential treatment with N2H4 and HONO, on photolysis in CH2Cl2 gave p-R2C6H4CHO in 70-76% yield via  $\gamma$ -lactone intermediates. Similarly, I [R = N3, R1 = (CH2)2Ph] on photolysis gave a 2:1 mixture of PhCHO and PhCH2CHO via the  $\delta\text{-}$  and  $\gamma$ -lactone, resp.

IT85125-17-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 85125-17-3 CAPLUS

2-Pyridinecarboxamide, N,4,6-triphenyl- (9CI) (CA INDEX NAME) CN

ANSWER 35 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1983:46446 CAPLUS

DOCUMENT NUMBER:

98:46446

TITLE:

2-[(Phenylthio)methyl]pyridine derivatives: new

antiinflammatory agents

AUTHOR (S):

Haviv, Fortuna; DeNet, Robert W.; Michaels, Raymond J.; Ratajczyk, James D.; Carter, George W.; Young,

Patrick R.

CORPORATE SOURCE:

Abbott Lab., North Chicago, IL, 60064, USA

SOURCE:

Journal of Medicinal Chemistry (1983), 26(2), 218-22

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 98:46446

GΙ

$$R$$
 SCH<sub>2</sub>  $R^1$   $R$ 

AΒ The title compds. I (R = H, Br, Cl, F, Me, NH2, OMe, etc., R1 = H, Cl, OH,Me, OMe, Ph, etc.) and related compds. as the HCl salts, prepared mostly by the reaction of 2-picolyl chloride [4377-33-7] or 2-

(hydroxymethyl)pyridine [586-98-1] with the appropriate mercaptol either in 48% HBr under reflux or in the presence of NaOEt in EtOH at room temperature,

were investigated as inflammation inhibitors in rat. I (R = H, Br, Cl, F, or NO2 and R1 = H) were effective inhibitors of immune complex induced inflammation as represented by the rat reverse passive Arthus reaction. 2-[((4-bromophenyl)thio]methyl]pyridine (I; R = Br, R1 = H) [83782-10-9]also inhibited both exudate formation and cellular accumulation in the more conventional carrageenin pleural test, whereas indomethacin inhibited only exudate volume in this model. Structure-activity relations are discusséd.

ΙT 83782-51-8P 83782-52-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and inflammation-inhibiting activity of)

83782-51-8 CAPLUS RN

Pyridine, 2-[[(4-bromophenyl)thio]methyl]-4-phenyl- (9CI) (CA INDEX NAME) CN

RN 83782-52-9 CAPLUS

CNPyridine, 2-[[(4-bromophenyl)thio]methyl]-4-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

HC1

ANSWER 36 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1982:122589 CAPLUS

DOCUMENT NUMBER:

96:122589

TITLE:

Synthesis and some reactions of 3-cyano-4-phenyl-6-[1-(2-methoxynaphthalenyl)]-2-pyridone

L8 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1974:95419 CAPLUS

DOCUMENT NUMBER:

80:95419

TITLE:

Chemistry of sulfonyl cyanides. 4. Diels-Alder cycloadditions of sulfonyl cyanides with dienes

AUTHOR(S):

Jagt, J. C.; Van Leusen, A. M.

CORPORATE SOURCE: SOURCE:

Dep. Org. Chem., Univ. Groningen, Groningen, Neth. Recueil des Travaux Chimiques des Pays-Bas (1973),

92(12), 1343-54

CODEN: RTCPA3; ISSN: 0165-0513

DOCUMENT TYPE:

Journal English

LANGUAGE:
OTHER SOURCE(S):

CASREACT 80:95419

AB Tosyl cyanide reacts under extremely mild conditions with 2,3-dimethyl-1,3-butadiene, isoprene and, under somewhat different conditions, with 1,3-butadiene. The products, 2-tosylpyridines and 3,6-dihydro-2-pyridones, are derived by dehydrogenation and hydrolysis, resp., of the Diels-Adler cycloadducts formed in situ. Phenylmethanesulfonyl cyanide and 1-adamantanesulfonyl cyanide give analogous results with 2,3-dimethylbutadiene. At 175°, tosyl cyanide and tetracyclone form 3,4,5,6-tetraphenyl-2-tosylpyridine. Phenylmethanesulfonyl cyanide and p-chlorobenzenesulfonyl cyanide react

IT 28374-18-7P 51954-57-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 28374-18-7 CAPLUS

similarly.

CN Pyridine, 2-[(4-methylphenyl)sulfonyl]-3,4,5,6-tetraphenyl- (9CI) (CA INDEX NAME)

RN 51954-57-5 CAPLUS

CN Pyridine, 2-[(4-chlorophenyl)sulfonyl]-3,4,5,6-tetraphenyl- (9CI) (CA INDEX NAME)

L8 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1972:59390 CAPLUS

DOCUMENT NUMBER:

76:59390

TITLE:

Substituted pyridines. 5-Methyl-4-phenyl-2-

(aminomethyl, alkoxymethyl, aroxymethyl) pyridines

AUTHOR(S):

Prostakov, N. S.; Baktibaev, O. B.

CORPORATE SOURCE:

Univ. Druzhby Nar. im. Lumumby, Moscow, USSR

SOURCE:

Khimiya Geterotsiklicheskikh Soedinenii (1971), 7(9),

1211-12

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE:

Journal

LANGUAGE:

Russian

For diagram(s), see printed CA Issue. GΙ AΒ

I (R=NR12, OEt, OPh) were prepared from I (R=Br) and HNR12, EtONa, or PhONa, resp.

ΙT 34891-38-8P 34891-39-9P 34891-40-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN34891-38-8 CAPLUS

CNPyridine, 5-methyl-2-(phenoxymethyl)-4-phenyl- (9CI) (CA INDEX NAME)

34891-39-9 CAPLUS RN

Pyridine, 5-methyl-2-(phenoxymethyl)-4-phenyl-, hydrochloride (9CI) CNINDEX NAME)

## HC1

RN34891-40-2 CAPLUS

CN Pyridine, 2-(diphenoxymethyl)-5-methyl-4-phenyl- (9CI) (CA INDEX NAME)

ANSWER 48 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1970:498733 CAPLUS

DOCUMENT NUMBER:

73:98733

TITLE: Reaction of alkylidenemalononitriles with IT 21828-86-4P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

21828-86-4 CAPLUS RN

Picolinanilide, 5-methyl-4-phenylthio- (8CI) (CA INDEX NAME) CN

ANSWER 51 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

CORPORATE SOURCE:

1968:496598 CAPLUS

DOCUMENT NUMBER:

69:96598

Journal

TITLE:

L8

Reactions of  $\alpha$ -arylazo- $\alpha$ -chloroacetic acid

esters with cyclic tertiary bases

AUTHOR(S):

Fusco, Raffaello; Dalla Croce, Piero; Salvi, Annibale

Univ. Milano, Milan, Italy

SOURCE:

Gazzetta Chimica Italiana (1968), 98(5), 511-34

CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE:

LANGUAGE: Italian

For diagram(s), see printed CA Issue.

I, II, III, IV, and V are prepared from ArNHN: CC1CO2R (VI); also prepared are AB VII. Thus, a solution of 85 g. AcCH2CO2Bu-tert in 250 ml. CHCl3 is boiled, 67 g. SO2Cl2 is slowly added, and the mixture is refluxed 1 hr. to give 70% AcCHClCO2Bu-tert (VIII), b18 92°. A solution of 21 q. PhNH2 in 100 ml. 15% HCl is cooled to 0°, treated with 18 g. NaNO2 in 30 ml. water, agitated 15 min., treated with NaHCO3 to give pH 5-6, treated with a solution of 43 g. VIII in 300 ml. MeOH, treated with 17 g. NaOAc, kept cold 4 hrs., and refrigerated overnight to give 90% PhNHN:CClCO2Bu-tert (IX), m. 88°. Similarly prepared are the following: VI (R = tert-Bu) (Ar and m.p. given): o-ClC6H4, 53.5°; p-ClC6H4, 102°; 2,4-Me2C6H3,  $59^{\circ}$ . A mixture of 4 g. IX and 5 ml. quinoline is heated 15 min. at 170-80°, treated with 10% HCl, and extracted with 50 ml. C6H6; the extract is worked up to give N-phenyl-N-cyano-2-aminoquinoline (X), m. 119°. Similarly prepared are the following I (R = CN) (Ar, R1, b.p./mm., and m.p. given): Ph, Me, -, 108°, o-ClC6H4, H, 160°/0.01, -; p-ClC6H4, H, -, 130°; 2,4-Me2C6H3, H, -, 119°. Prepared are II (R = CN) (Ar, R1, R2, and m.p. given): Ph, H, H, 52°; Ph, Me, H, -; Ph, Me, Me, - (b0.1 120°); Ph, Ph, H, 92°; o-ClC6H4, H, H, 116° (b0.2 170°); p-ClC6H4, H, H, 105°; 2,4-Me2C6H3, H, H, 58°; and N-phenyl-N-cyano-1aminoisoquinoline, b0.1 170°, m. 78°. A solution of 2 g. X in 20 ml. EtOH containing 3 ml. 35% NaOH is refluxed 2 hrs. to give 2-anilinoquinoline, m. 98°. Similarly prepared are I (R = H, Ar = Ph, R1 = Me), m. 129°, and the following II (R = H, Ar = Ph) (R1, R2, and m.p. given): H, H, 108°; Me, H, 115°; Me, Me, -(b0.8 180°); Ph, H, 118°. Ir data for the I and II, where R is H and CN, are given. VI (Ar = Ph, R = Et) (16 g.) is treated with 30ml. quinoline and 7.1 g. Et3N to give 90% III (1-carbethoxy-3-phenyl-3a,10dihydro-s-triazolo[4,3-a]quinoline), m. 123°; perchlorate m. 203°; HCl salt m. 163°. Similarly prepared are (m.p. given): 3-phenyl-s-triazolo[4,3-a]quinolin-10-ium perchlorate [IV, R = R1 = H, (R2R3 = ) CH:CHCH:CH, X = ClO4] (XI), 264°; IV (R = H, R1 = Me,

(R2R3 = ) CH:CHCH:CH, X = Cl), 264°; V, 206°; IV (R = R1 = R2 = R3 = H, X = ClO4), 156°. A solution of 10 g. III in 50 ml. HOAc is treated at 60° with 2 g. K2Cr2O7 in 20 ml. 75% HOAc to give 85% [R = CO2Et, R1 = H, (R2R3 = ) CH:CHCH:CH, X = C104] (XII), m. 185° (decomposition). A mixture of 4.17 g. XII and 5 ml. quinoline is heated at 160° to give X, m. 119°, and N-ethylquinolinium perchlorate, m. 104°. Similarly, XI gives X, m. 119°. A solution of 2 g. XI in 50 ml. water containing 10 ml. 10% NaOH is prepared and extracted with

MeCOPr to give 1-cyano-2-quinoline anil (VII, R = CN, X = NPh, R1 = H) (XIII), m. 149°. Similarly prepared are (m.p. given): VII (R = CN, X = NPh, R1 = Me) (XIV), 154°, and 2-cyano-1-isoquinolone anil, 96°. XIII (0.3 g.) is heated at 160° to give 95% X, m. 119°. A solution of 0.3 q. XIII in 10% NaOH (alc.) is boiled 1 hr. to give 2-anilinoquinoline, m. 97°. XIV (1 g.) in 25 ml. EtOH is heated 1 hr. with 5 ml. 10% HCl to give VII (R = CN, X = 0, R1 = Me) (XV), m. 176°. XV is treated with NaOH to give VII (R = H, X = O, R1 = Me), m. 222°. Ir spectral data for XV is given. A solution of 3 g. III in 30 ml. 10% HCl is refluxed 2 hrs. to give quinoline and HCO2H. A mixture of 2.5 g. III-HCl and 5 ml. quinoline is heated at 160° to give

TT 19933-08-5P 19933-09-6P

> 'RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

> gaseous products (CO2 and EtCl) and 70% X, m. 119°.

19933-08-5 CAPLUS RN

CN 2-Pyridinecarbamonitrile, N,4-diphenyl- (8CI) (CA INDEX NAME)

RN19933-09-6 CAPLUS Pyridine, 2-anilino-4-phenyl- (8CI) CN (CA INDEX NAME)

ANSWER 52 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1968:402822 CAPLUS

DOCUMENT NUMBER: TITLE:

69:2822

AUTHOR (S):

Diene synthesis of the pyridine ring. II. Dienophilic properties of phenylcyanoformate Jaworski, Tadeusz; Korybut-Daszkiewicz, Bogdan

CORPORATE SOURCE:

Politech, Warsaw, Pol.

SOURCE:

Roczniki Chemii (1967), 41(9), 1521-5

CODEN: ROCHAC; ISSN: 0035-7677

DOCUMENT TYPE:

Journal LANGUAGE: Polish

Dienophilic reactivity of Ph cyanoformate (I) with AB tetraphenylcyclopentadienone (II) and the di-Me ketal of tetrachloropentadienone (III) led to the corresponding pyridine derivs. IV and V. \$\$Graphic Thus, a mixture of 15.5 g. ClCO2Ph and 10.8 g. Cu2(CN)2 was refluxed 2.5 hrs. and extracted with C6H6 to give 0.9 g. I, m. 53-5° (petroleum ether). A mixture of 3.84 g. II and 1.47 g. I heated 3.25 hrs. at 186°, diluted with 50 ml. C6H6, and treated with 8 ml. HClO4 gave a perchlorate, which when decomposed with aqueous NaHCO3 afforded 2.20 g. IV (R = CO2Ph) (VI), m. 206-8° (C6H6). Hydrolysis of 5 q. VI in 50 ml. 10% EtOH with 5 g. KOH (3 hrs. reflux), followed by acidification, yielded 89% IV (R = CO2H) (VII), m. 196-8°. When decarboxylated at 200° 0.5 g. VII gave 0.44 g. IV (R = H), m. 188-90°. A mixture of 5.28 g. III and 2.94 g. I heated 80 hrs. at 180° and extracted continuously with MeOH afforded 2.25 g. V (R = Ph) (VIII), m. 159-60° (MeOH-Me2CO). Transesterification of 1 q. VIII in 75 ml. MeOH with passage in of HCl gas until the whole became clear, followed by concentration, gave 0.49 g. V (R = Me) (IX), m. 73-5° (dilute MeOH). When refluxed 30 min. in 60 ml. MeOH and MeONa (prepared from 0.04 g. Na) 0.45 g. IX yielded 80% 3,5-dichloro-4-methoxypyridine-2,6dicarboxylic acid di-Me ester (X), m. 141-3°. Similarly, VIII gave X. Hydrogenation of 0.5 g. IX in 100 ml. MeOH at room temperature in the presence of Raney Ni afforded 0.40 g. 2,6-pyridinedicarboxylic acid di-Me ester, m. 115°.

IT 18614-40-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 18614-40-9 CAPLUS

CN Picolinic acid, 3,4,5,6-tetraphenyl-, phenyl ester (8CI) (CA INDEX NAME)

L8 ANSWER 53 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1966:19109 CAPLUS

DOCUMENT NUMBER: 64:19109

ORIGINAL REFERENCE NO.: 64:3464f-h,3465a-b

TITLE: Substituted pyridines. Amides and hydrazides of

pyridine-carboxylic acids

AUTHOR(S): Prostakov, N. S.; Mikheeva, N. N.; Pkhal'qumani, D.;

Mathew, K. John

CORPORATE SOURCE: Patrice Lumumba Univ., Moscow

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1965), (4),

531-6

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal LANGUAGE: Russian

GI For diagram(s), see printed CA Issue.

AB Various derivs. of isocinchomeronic acid (I) and 4-phenylpyridine-2,5-dicarboxylic acid (II) were prepared Thus, 8 g. I treated with 48 cc. SOC12 on a boiling water bath 5 hrs., SOC12 distilled in vacuo, 60 g. freshly distilled Et2NH added dropwise at 0°, and the mixture boiled 8 hrs. gave 4 g. III, m. 115° (heptane). Similarly, IV and V were prepared from II, and VI was prepared from 4-(p-carboxyphenyl)pyridine-2,5-dicarboxylic

acid. IV m. 152-3° (hexane); hydrochloride m. 161-3° (Me2CO); V m. 110-11°; trihydrochloride m. 132-4° (Me2CO); V m. 110-11°; trihydrochloride m. 132-4° (Me2CO); VI m. 126-7°. Treating 1.5 g. dimethyl 4-phenylpyridine-2,5-dicarboxylate with 15 cc. 25% NH3 for 40 hrs. at room temperature gave the corresponding monoester monoamide (VII), m. 175-6° (EtOH), which on treating with 15 cc. 25% NH3 in EtOH for 48 hrs. gave VIII, m. 256-7°. Treating 1.2 g. 4-phenylpyridine-2,5-dicarboxylic acid bis(diethylamide) with 0.8 g. P2S5 in boiling C6H6 10 hrs. gave 0.5 g. IX, m. 144-5°. A mixture of 5 g. di-Et 4-phenylpyridine-2,5-dicarboxylate (X) and 4 g. PhNH2 heated 3 hrs. at 215-30° gave 3.6 g. of the corresponding monoester monoamide XI, m. 118-19° (EtOH). II (3 g.), 2 g. P2O5, and 5 g. PhNH2 boiled in 50 cc. C6H6 8 hrs., treated with KOH solution to alkaline reaction, and extracted with C6H6 and AcOEt gave

2 g. XII, m. 189-90° (EtOH). Nitration of 5 g. II by a mixture of 4.5 cc.  $\dot{H}N\dot{O}3$  (d. 1.39) and 5.5 cc. H2SO4 (d. 1.84) at  $70^\circ$  gave 4.5 g. 4-(p-nitrophenyl)pyridine-2,5-dicarboxylic acid (XIII), m. 232-3° (decomposition) (H2O-EtOH). XIII (4 q.) treated with 30 cc. EtOH and 2.7 cc. H2SO4 5 hrs. gave 2 g. diethyl 4-(p-nitrophenyl)pyridine-2,5-dicarboxylate (XIV), m. 136-9° (H2O-EtOH). X (6.5 g.) heated with 16.2 cc. NH2NH2.H2O at 90-100° for 48 hrs. gave 5.8 g. XV, m. 191-4° (EtOH); picrate m. 210-11° (EtOH). XV (5 g.) heated with 6 g. BzH in 50 cc. EtOH at 80-90° 10 hrs., gave 3 g. XVI, m. 287-8°. (III, Ri = CONEt2, R2 = H); (IV, R1 = CONMe2 R2 = Ph); (V, R1 = CONMe2piperidinocarbonyl, R2 = Ph); (VI, R1 = CONEt2, R2 = p-C8H4CO2H); (VIII, R1 = CONH2, R2 = Ph); (IX, R1 = CSNEt2, R2 = Ph); XII, R1 = CONHPh, R2 = Ph); (XV, R1 = CONHNH2, R2 = Ph); (XVI, R1 = CONHN : CHPh, R2 = Ph); (XVII, R1 = CONHN : CHC6H3(OMe) (OH)-3,4, R2 = Ph); (XVIII, R1 = Q1 R2 = Ph) Ph); Similarly, XVII was prepared from XV and vanillin and XVIII from XV and 1,2,5-trimethylpiperid-4-one. XVII m. 242-3°, and XVIII m. 182-3° (Me2CO).

IT 5562-03-8, 2,5-Pyridinedicarboxanilide, 4-phenyl6012-33-5, Nicotinic acid, 4-phenyl-6-(phenylcarbamoyl)-(?), ethyl
ester

(preparation of)

RN 5562-03-8 CAPLUS

CN

2,5-Pyridinedicarboxanilide, 4-phenyl- (7CI, 8CI) (CA INDEX NAME)

RN 6012-33-5 CAPLUS

CN Nicotinic acid, 4-phenyl-6-(phenylcarbamoyl)-, ethyl ester (7CI, 8CI) (CA INDEX NAME)

L8 ANSWER 54 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1964:418762 CAPLUS

DOCUMENT NUMBER: 61:18762
ORIGINAL REFERENCE NO.: 61:3236e-g
TITLE: Reactive dyes

INVENTOR(S): Russocki, Marian; Sosnowski, Czeslaw

PATENT ASSIGNEE(S): Instytut Przemyslu Organicznego

SOURCE: 2 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

PATENT INFORMATION:

GI For diagram(s), see printed CA Issue.

AB Reactive dyes for cellulose are obtained from amine dyes by condensation with 2,6-dichloro-3,5-dicyano-4-phenylpyridine (I). Thus, 4.82 g. di-Na salt of 6amino-5-(4-amino-2-sulfophenylazo)-4-hydroxy-2-naphthalenesulfonic acid was dissolved in H2O, treated with 1 g. Na2CO3, heated to .apprx.100°, treated with 4.0 g. I, and stirred to give II, a red dye for cellulose fibers. Similarly, blue dye III was obtained from the di-Na salt of 1-amino-4-(3-amino-4-sulfoanilino)anthraquinone-2-sulfonic acid and I.

IT 96269-15-7, 2-Naphthalenesulfonic acid, 6-amino-5-[[4-[(6-chloro-3,5-dicyano-4-phenyl-2-pyridyl)amino]-2-sulfophenyl]azo]-4-hydroxy-(preparation of)

RN 96269-15-7 CAPLUS

CN 2-Naphthalenesulfonic acid, 6-amino-5-[[4-[(6-chloro-3,5-dicyano-4-phenyl-2-pyridyl)amino]-2-sulfophenyl]azo]-4-hydroxy- (7CI) (CA INDEX NAME)

=> d his

(FILE 'HOME' ENTERED AT 09:13:05 ON 01 APR 2004)

FILE 'REGISTRY' ENTERED AT 09:13:19 ON 01 APR 2004
L1 STRUCTURE UPLOADED
L2 15 S L1
L3 STRUCTURE UPLOADED
L4 2 S L3
L5 STRUCTURE UPLOADED
L6 1 S L5
L7 190 S L5 FULL

FILE 'CAPLUS' ENTERED AT 09:19:43 ON 01 APR 2004 54 S L7

=> d 15

L8

L5 HAS NO ANSWERS

L5 STR

G1 O, S, N

Structure attributes must be viewed using STN Express query preparation.